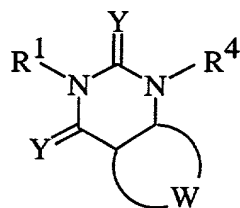


ABSTRACT

Selective MMP-13 inhibitors are fused pyrimidinones of the formula

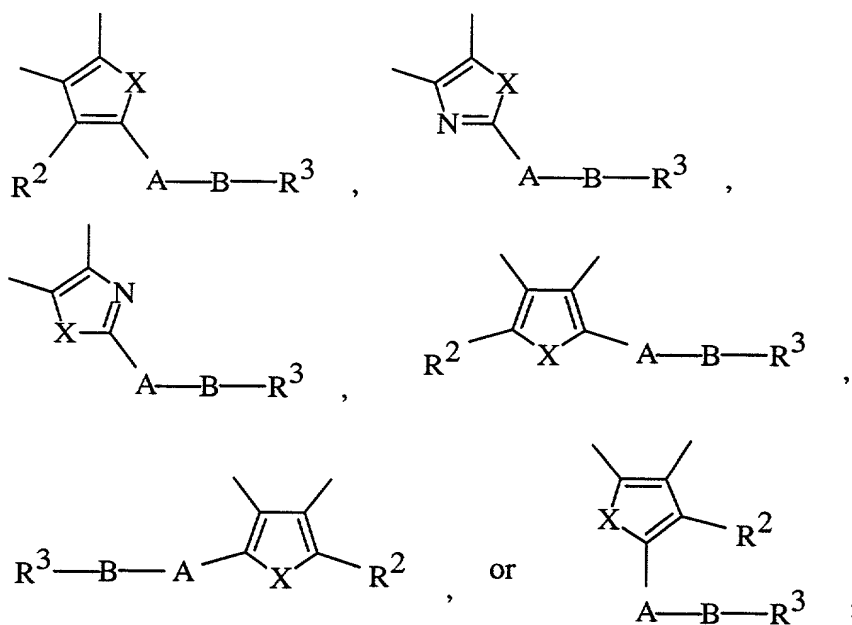


or a pharmaceutically acceptable salt thereof,

5

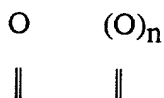
wherein:

W, together with the carbon atoms to which it is attached, form a 5-membered ring diradical



X is O, S, SO, SO<sub>2</sub>, NR<sup>5</sup>, or CH<sub>2</sub>;

10



A is -C- or -S-;

B is O or NR<sup>5</sup>; or

A and B are taken together to form -C≡C-;

$R^1$ ,  $R^4$ , and  $R^5$  are hydrogen, alkyl, alkenyl, alkynyl,  $(CH_2)_n$  aryl,  $(CH_2)_n$  cycloalkyl,  $C_1$ - $C_6$  alkanoyl, or  $(CH_2)_n$  heteroaryl;

$R^2$  and  $R^3$  are hydrogen, alkyl, alkenyl, alkynyl CN,  $NO_2$ ,  $NR^4R^5$ ,  $(CH_2)_n$  cycloalkyl,  $(CH_2)_n$  aryl, or  $(CH_2)_n$  heteroaryl;

5  $R^2$  may further be halo;

$n$  is an integer of from 0 to 5; and

$R^4$  and  $R^5$  when taken together with a nitrogen to which they are both attached complete a 3- to 8-membered ring containing carbon atoms and optionally containing O, S, or N, and substituted or unsubstituted;

10 with the proviso that  $R^1$  and  $R^3$  are not both selected from hydrogen and  $C_1$ - $C_6$  alkyl.

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